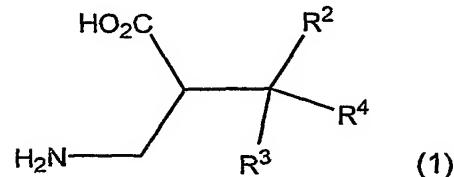
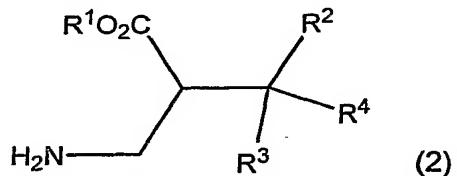


CLAIMS

1. Process for the preparation of an enantiomerically enriched β^2 -amino acid of formula 1

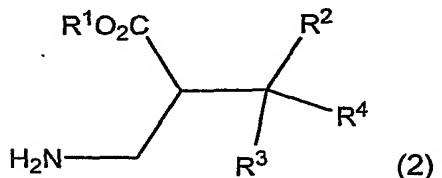


wherein R², R³ and R⁴ each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl, OR⁵, CO₂R⁶, C(O)R⁷, SR⁸, NR⁹R¹⁰, OC(O)R¹¹ wherein R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰ and R¹¹ each independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and wherein R² and R³, R² and R⁴ or R³ and R⁴ may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a β^2 -amino acid ester of formula 2



wherein R¹ stands for an optionally substituted alkyl and wherein R², R³ and R⁴ are as defined above and collecting the resulting enantiomerically enriched β^2 -amino acid of formula 1.

2. Process for the preparation of an enantiomerically enriched β^2 -amino acid ester of formula 2



wherein R¹ stands for an optionally substituted alkyl and wherein R², R³ and R⁴ each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl, OR⁵, CO₂R⁶, C(O)R⁷, SR⁸, NR⁹R¹⁰, OC(O)R¹¹ wherein R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰ and R¹¹ each independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and

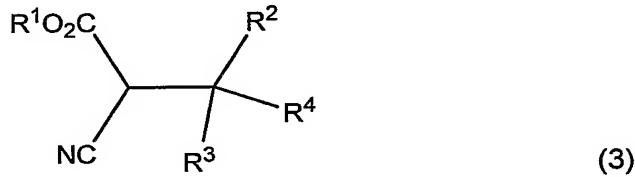
wherein R² and R³, R² and R⁴ or R³ and R⁴ may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a β^2 -amino acid ester of formula 2, wherein R¹, R², R³ and R⁴ are as defined above and collecting the remaining enantiomerically enriched β^2 -amino acid ester of formula 2.

5 3. Process according to claim 1 or claim 2, wherein the stereoselective hydrolytic enzyme is an enzyme from the enzyme classification group EC 3.1.1, 3.4.21, 10 4. 3.4.22 or 3.4.23.

10 4. Process according to any one of claims 1-3, wherein the stereoselective hydrolytic enzyme has an E-ratio > 5.

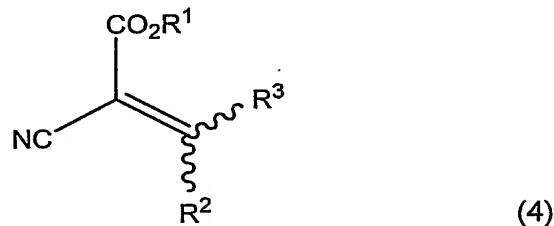
15 5. Process according to any one of claims 2-4, wherein the collected remaining enantiomerically enriched β^2 -amino acid ester is further hydrolysed in a manner known per se.

15 6. Process according to any one of claims 1-5, wherein the β^2 -amino acid ester of formula 2 is prepared by reduction of the corresponding nitrile of formula 3



wherein R¹, R², R³ and R⁴ are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

20 7. Process according to claim 6, wherein the nitrile of formula 3, wherein R¹, R² and R³ are as defined above and wherein R⁴ stands for H is prepared by reduction of the corresponding nitrile of formula 4,



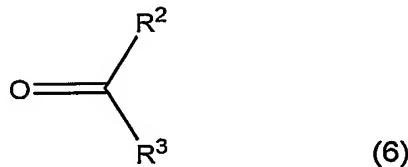
25 8. wherein R¹, R² and R³ are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

8. Process according to any one of claims 1-5, wherein the β^2 -amino acid ester of formula 2, wherein R⁴ stands for H and R¹, R² and R³ are as defined above

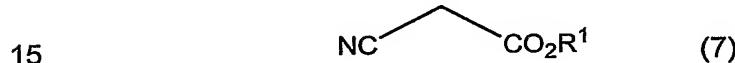
is prepared by reduction of the corresponding nitrile of formula 4, wherein R¹, R² and R³ are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

9. Process according to claim 6, wherein the nitrile of formula 3, wherein R¹, R², R³ and R⁴ are as defined in claim 6 is prepared from the corresponding nitrile of formula 4, wherein R¹, R² and R³ are as defined above by introduction of R⁴ via nucleophilic 1,4-addition using a suitable nucleophile.

5 10. Process according to any one of claims 7-9, wherein the nitrile of formula 4, wherein R¹, R² and R³ are as defined above is prepared by condensation of a ketone or aldehyde of formula 6

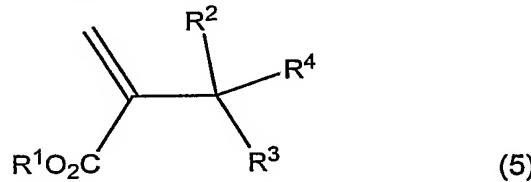


wherein R² and R³ are as defined above and a nitrile of formula 7



wherein R¹ is as defined above, in the presence of a suitable base or a dehydrating reagent.

11. Process according to any one of claims 1-5, wherein the β^2 -amino acid ester 20 of formula 2, wherein R¹, R², R³ and R⁴ are as defined in anyone of claims 1-5 is prepared by reacting NH₃ or an NH₃-analogue with the 2-substituted acrylic acid ester of formula 5



25 wherein R¹, R², R³ and R⁴ are as defined above.

12. Process according to any one of claims 1-11, wherein the enantiomerically enriched β^2 -amino acid (ester) prepared according to a process of any one of claims 1-11 is further converted into a pharmaceutically active ingredient.

13. Process according to claim 12, wherein the pharmaceutically active ingredient is formulated into a pharmaceutical composition comprising the pharmaceutically active ingredient and an excipient.